WEST Search History

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DATE: Monday, February 12, 2007

Hide?	Set Name	Query	Hit Count
		DB=USPT; PLUR=YES; OP=ADJ	
☐	L1	(546/197.ccls. or 514/321.ccls.) and paroxetine	94

END OF SEARCH HISTORY

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     (FILE 'HOME' ENTERED AT 15:07:12 ON 12 FEB 2007)

     FILE 'CAPLUS' ENTERED AT 15:07:24 ON 12 FEB 2007
L1             1 S (EXCIP? OR CARRIER?)(L)(GLYCOLLATE(L)PHOSPHATE(L)STEARATE)

     FILE 'STNGUIDE' ENTERED AT 15:08:22 ON 12 FEB 2007
L2             0 S (GLYCOLLATE(L)PHOSPHATE(L)STEARATE)

     FILE 'CAPLUS' ENTERED AT 15:09:31 ON 12 FEB 2007
L3             7 S (GLYCOLLATE(L)PHOSPHATE(L)STEARATE)
L4             0 S L3 NOT L2

=> s l3 not l1
L5             6 L3 NOT L1

=> d bib abs hit 1-6

L5   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2004:902182  CAPLUS
DN   141:384290
TI   Improved formulations of amlodipine maleate using magnesium-free
     lubricants
IN   Pragai, Gabor; Orosz, Eva; Szilagyi, Judit; Nagy, Edit; Ban, Lidia
PA   Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA,
     Inc.
SO   PCT Int. Appl., 30 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE       APPLICATION NO.          DATE
     --------------      ----   --------   --------------------     --------
PI   WO 2004091614        A2    20041028   WO 2004-US11642          20040412
     WO 2004091614        A3    20050120
     WO 2004091614        A8    20061116
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     CA 2559670           A1    20041028   CA 2004-2559670          20040412
     US 2005019395        A1    20050127   US 2004-823802           20040412
PRAI US 2003-462813P      P     20030414
     WO 2004-US11642      W     20040412
AB   The present invention provides improved, more stable formulations of
     amlodipine maleate where the formulations comprise from none to a minimal
     amount of magnesium.  Such stable formulations show decreased production of the
     impurity amlodipine aspartate.  Accordingly, the present invention
     provides formulations of amlodipine maleate comprising lubricants such as
     sodium stearyl fumarate, dimeticone, macrogol 6000, hydrogenated castor
     oil, and stearic acid.  Methods of making and using the improved
     formulations are also provided.  For example, tablets free of magnesium
     stearate contained amlodipine maleate 3%, microcryst. cellulose
     57%, calcium hydrogen phosphate 32%, sodium starch
     glycollate 2%, colloidal silica 4%, lubricant 1%.
AB   The present invention provides improved, more stable formulations of
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amlodipine maleate where the formulations comprise from none to a minimal amount of magnesium. Such stable formulations show decreased production of the impurity amlodipine aspartate. Accordingly, the present invention provides formulations of amlodipine maleate comprising lubricants such as sodium stearyl fumarate, dimeticone, macrogol 6000, hydrogenated castor oil, and stearic acid. Methods of making and using the improved formulations are also provided. For example, tablets free of magnesium stearate contained amlodipine maleate 3%, microcryst. cellulose 57%, calcium hydrogen phosphate 32%, sodium starch glycollate 2%, colloidal silica 4%, lubricant 1%.

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:849407 CAPLUS
DN 137:342137
TI An improved process for preparation of four-drug antitubercular fixed dose combination
IN Sen, Himadri; Jindal, Kour Chand; Deo, Kishor Dattatray; Gandhi, Krishnakant Tulsiram
PA Lupin Laboratories Limited, India
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002087547	A1	20021107	WO 2001-IN93	20010427
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2001016994	A	20040302	BR 2001-16994	20010427
	IN 2003MN00998	A	20050624	IN 2003-MN998	20031027
	ZA 2003009212	A	20040917	ZA 2003-9212	20031126
	IN 2004MN00220	A	20051104	IN 2004-MN220	20040412
PRAI	WO 2001-IN93	A	20010427		
	IN 2003-MN998	A3	20031027		

AB An improved process for preparation of a composition comprising fixed dose combination (FDC) of four antitubercular drugs, viz., rifampicin, isoniazid, pyrazinamide and ethambutol hydrochloride, which improves the dissoln. of poorly soluble drug rifampicin and hence improve its bioavailability (without use of a surfactant) is described. For example, a three-step granulation process was carried out: (i) rifampicin, microcryst. cellulose or lactose, crospovidone and pregelatinized starch or povidone were mixed. Ascorbic acid was dissolved in water and then pregelatinized starch dispersed in water or povidone was dissolved in water to make a binder solution The blend was granulated with the binder solution (ii) Isoniazid, pyrazinamide, microcryst. cellulose or lactose were mixed and granulated with pregelatinized starch dispersed in water or povidone dissolved in water. (iii) Ethambutol hydrochloride and microcryst. cellulose or dicalcium phosphate were mixed and granulated with gelatin solution After drying, the granules of all 3-steps were blended together and mixed with silicon dioxide, microcryst. cellulose, crospovidone or sodium starch glycollate and magnesium stearate. The granules were compressed into tablets and coated with Opadry AMB Brown (polyvinyl alc., titanium dioxide, talc, lecithin, xanthan gum and iron oxide colorant). Rifampicin release from the tablets prepared was 72.6%, 83.6%, 90.1%, and 95.2%, within 10, 20, 30, and 45 min, resp.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB An improved process for preparation of a composition comprising fixed dose combination (FDC) of four antitubercular drugs, viz., rifampicin, isoniazid, pyrazinamide and ethambutol hydrochloride, which improves the dissoln. of poorly soluble drug rifampicin and hence improve its bioavailability (without use of a surfactant) is described. For example, a three-step granulation process was carried out: (i) rifampicin, microcryst. cellulose or lactose, crospovidone and pregelatinized starch or povidone were mixed. Ascorbic acid was dissolved in water and then pregelatinized starch dispersed in water or povidone was dissolved in water to make a binder solution The blend was granulated with the binder solution (ii) Isoniazid, pyrazinamide, microcryst. cellulose or lactose were mixed and granulated with pregelatinized starch dispersed in water or povidone dissolved in water. (iii) Ethambutol hydrochloride and microcryst. cellulose or dicalcium phosphate were mixed and granulated with gelatin solution After drying, the granules of all 3-steps were blended together and mixed with silicon dioxide, microcryst. cellulose, crospovidone or sodium starch glycollate and magnesium stearate. The granules were compressed into tablets and coated with Opadry AMB Brown (polyvinyl alc., titanium dioxide, talc, lecithin, xanthan gum and iron oxide colorant). Rifampicin release from the tablets prepared was 72.6%, 83.6%, 90.1%, and 95.2%, within 10, 20, 30, and 45 min, resp.

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:171691 CAPLUS
DN 136:236838
TI Paroxetine compositions having improved stability
IN Van Dalen, Frans; Platteeuw, Johannes Jan; Peters, Theodorus Hendricus Antonius; Lemmens, Jacobus Maria; Picha, Frantisek
PA Synthon B.V., Neth.
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002017921	A2	20020307	WO 2001-NL635	20010828
	WO 2002017921	A3	20021003		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2418038	A1	20020307	CA 2001-2418038	20010828
	AU 2001096084	A5	20020313	AU 2001-96084	20010828
	US 2002065301	A1	20020530	US 2001-939561	20010828
	US 6645523	B2	20031111		
	EP 1313474	A2	20030528	EP 2001-976929	20010828
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004507504	T	20040311	JP 2002-522894	20010828
	HU 200303827	A2	20040428	HU 2003-3827	20010828
	NZ 523902	A	20040528	NZ 2001-523902	20010828
	NO 2003000848	A	20030224	NO 2003-848	20030224
	ZA 2003001532	A	20040225	ZA 2003-1532	20030225
	US 2004067254	A1	20040408	US 2003-678082	20031006
PRAI	US 2000-228110P	P	20000828		

	US 2000-234936P	P	20000926
	US 2001-939561	A3	20010828
	WO 2001-NL635	W	20010828

AB Paroxetine salt compns. having improved stability are formed by controlling the pH to 6.5 or less. The compns. can be made with the aid of water without significant coloration problems. The paroxetine salt include paroxetine hydrochloride salts but preferably use paroxetine sulfonate salts such as paroxetine methane sulfonate. A tablet contained paroxetine mesylate 51.66, calcium hydrogen phosphate 411.83, microcryst. cellulose 213.92, sodium starch glycollate 28.52, and magnesium stearate 7.13 mg, pH = 5.45.

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L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:81568 CAPLUS
DN 130:130004
TI Pharmaceutical compositions containing selective serotonin re-uptake inhibitors for the treatment and prevention of cardiac disorders using
IN Jenner, Paul Norman
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9903469	A1	19990128	WO 1998-GB2073	19980714
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2296468	A1	19990128	CA 1998-2296468	19980714
	AU 9883494	A	19990210	AU 1998-83494	19980714
	AU 739466	B2	20011011		
	EP 996445	A1	20000503	EP 1998-933796	19980714
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	BR 9811004	A	20000919	BR 1998-11004	19980714
	TR 200000090	T2	20000921	TR 2000-200000090	19980714
	JP 2001510155	T	20010731	JP 2000-502768	19980714
	HU 200002643	A2	20011028	HU 2000-2643	19980714
	NZ 502201	A	20011221	NZ 1998-502201	19980714
	NO 2000000169	A	20000113	NO 2000-169	20000113
	US 6372763	B1	20020416	US 2000-462854	20000331
PRAI	GB 1997-14841	A	19970714		
	WO 1998-GB2073	W	19980714		

AB A method for treating and/or preventing cardiac disorders in human or non-human animals comprise administering an effective, non-toxic amount of a serotonin re-uptake inhibitor (SSRI) or a pharmaceutically acceptable salt thereof. A pharmaceutical tablet contained paroxetine hydrochloride hemihydrate 22.88, dibasic calcium phosphate dihydrate 244.12, hydroxypropyl methylcellulose 15.00, sodium starch glycollate

15.00, and magnesium stearate 3.00 mg. The rate of myocardial infarction for patients who were taking SSRI over 4 yr period was 0.0204 as compared to 0.0226 events/patients year exposure for the general population, showing the patients taking SSRI were statistically less likely to develop a myocardial infarction than those who did not.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A method for treating and/or preventing cardiac disorders in human or non-human animals comprise administering an effective, non-toxic amount of a serotonin re-uptake inhibitor (SSRI) or a pharmaceutically acceptable salt thereof. A pharmaceutical tablet contained paroxetine hydrochloride hemihydrate 22.88, dibasic calcium phosphate dihydrate 244.12, hydroxypropyl methylcellulose 15.00, sodium starch glycollate 15.00, and magnesium stearate 3.00 mg. The rate of myocardial infarction for patients who were taking SSRI over 4 yr period was 0.0204 as compared to 0.0226 events/patients year exposure for the general population, showing the patients taking SSRI were statistically less likely to develop a myocardial infarction than those who did not.

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:689523 CAPLUS
DN 125:309102
TI Paroxetine tablets containing excipients
IN Pathak, Ram Dutta; Doughty, David George
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9516448	A1	19950622	WO 1994-EP4164	19941214
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9409900	A	19951010	ZA 1994-9900	19941213
	CA 2178637	A1	19950622	CA 1994-2178637	19941214
	CA 2178637	C	19971223		
	CA 2214575	A1	19950622	CA 1994-2214575	19941214
	CA 2214575	C	19991207		
	CA 2274387	A1	19950622	CA 1994-2274387	19941214
	CA 2274389	A1	19950622	CA 1994-2274389	19941214
	CA 2274389	C	20040914		
	AU 9513145	A	19950703	AU 1995-13145	19941214
	AU 697982	B2	19981022		
	EP 734260	A1	19961002	EP 1995-904476	19941214
	EP 734260	B1	19990609		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1137236	A	19961204	CN 1994-194457	19941214
	CN 1071116	B	20010919		
	HU 75880	A2	19970528	HU 1996-1665	19941214
	JP 09506602	T	19970630	JP 1995-516534	19941214
	JP 3037757	B2	20000508		
	BR 9408219	A	19970826	BR 1994-8219	19941214
	AT 180973	T	19990615	AT 1995-904476	19941214
	ES 2132610	T3	19990816	ES 1995-904476	19941214
	RO 115413	B1	20000228	RO 1996-1196	19941214
	RU 2146141	C1	20000310	RU 1996-114954	19941214
	IL 111978	A	20000716	IL 1994-111978	19941214

	CZ 287891	B6	20010314	CZ 1996-1763	19941214
	SK 282620	B6	20021008	SK 1996-756	19941214
	FI 9602445	A	19960612	FI 1996-2445	19960612
	NO 9602547	A	19960614	NO 1996-2547	19960614
	NO 307366	B1	20000327		
	US 6113944	A	20000905	US 1998-108138	19980630
	HK 1012285	A1	20000630	HK 1998-113624	19981216
	US 2002086053	A1	20020704	US 2002-44848	20020111
	US 2003091628	A1	20030515	US 2002-287908	20021105
	US 2004005356	A1	20040108	US 2003-615322	20030708
	US 2004197403	A1	20041007	US 2004-829789	20040422
PRAI	GB 1993-25644	A	19931215		
	CA 1994-2214575	A3	19941214		
	WO 1994-EP4164	W	19941214		
	US 1996-676331	B3	19960612		
	US 1998-108138	A2	19980630		
	US 1999-411764	B1	19991004		
	US 2002-44848	A1	20020111		
	US 2002-287908	A1	20021105		

AB Paroxetine is formulated into tablets by using a formulation process in which water is absent. Thus, a tablet contained paroxetine hydrochloride hemihydrate 22.67, dicalcium phosphate 83.34, cellulose 50.67, sodium starch glycollate 8.34 and Mg stearate 1.67 mg.

AB Paroxetine is formulated into tablets by using a formulation process in which water is absent. Thus, a tablet contained paroxetine hydrochloride hemihydrate 22.67, dicalcium phosphate 83.34, cellulose 50.67, sodium starch glycollate 8.34 and Mg stearate 1.67 mg.

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1952:15502 CAPLUS
DN 46:15502
OREF 46:2701h-i,2702h-i,2703a-f
TI Chemicals in foods: A report to the Association of Food and Drug Officials on current developments
AU Lehman, Arnold J.
CS U.S. Food and Drug Admin., Washington, DC
SO Assoc. Food & Drug Officials U.S., Quart. Bull. (1951), 15, 82-9
DT Journal
LA Unavailable
AB cf. C.A. 45, 3517h. Based on new pharmacol. data (not reported here) a number of items proposed as food additives are classified as suitable or unsuitable. (1) Food-packaging materials. Resins used in food-packaging materials considered to be suitable on a basis of their insoly. and(or) inertness are: polyvinyl chloride, polyvinyl acetate, polyvinyl chloride-acetate, vinylidene chloride, polystyrene, polyethylene, cellulose acetate, regenerated cellulose, terephthalic acid-ethylene glycol copolymer, and butadiene-acrylonitrile. Failure to extract Me and Et acrylate from certain formulations indicated these components to be safe in these particular films. A lack of data necessitates the classification of the following resins as unsuitable: polyvinyl formal, polyvinyl acetal, polyvinyl butyral, polymeric furfuryl alc., cumarone-indene, urea-HCHO, PhOH-HCHO, and aniline-HCHO. Plasticizers are more soluble in food substances than resins. Adequate investigation indicates these to be suitable plasticizers: ethyl phthalyl ethyl glycollate, p-tert-butylphenyl salicylate, 3-(2-xenoxy)-1,2-epoxypropane, 2-ethylhexyl diphenyl phosphate, butyl phthalyl butyl glycollate, glycerol monooleate, acetyl tributyl citrate, and diisobutyl adipate. Films prepared with di-2-ethylhexyl phthalate can be used for foods with a high H2O content, but oily foods leach this ester. The following plasticizers may be approved in the future: dicyclohexyl phthalate, dibutyl phthalate, methyl phthalyl ethyl glycollate, diisooctyl phthalate, dioctyl adipate, dibutyl sebacate, dioctyl sebacate, and dicapryl sebacate. Suitable stabilizers are: Al monostearate, Ca acetate, Ca ethyl acetoacetate acetate, CaCO3, Ca stearate, Ca

glycerophosphate, mono-, di-, and tricalcium phosphate, Ca oleate, Ca ricinoleate, Mg stearate, Mg glycerophosphate, mono-, di-, and trimagnesium phosphate, Na_2HPO_4, and NH4K phosphate. Compds. of Ba, Sr, Li, Cd, and Pb are too toxic for use as stabilizers. Salts of Mn and Cu and the oxide and stearate of Zn are safe stabilizers if the contamination therefrom is < 50 p.p.m. Salts of trivalent Cr and Cr_2O_3 are subject to oxidation to the quinquevalent state and hence are objectionable as stabilizers. Safe lubricants are: oleates, stearates, and palmitates of Al, Ca, Mg, or Zn, used singly or in combination. Carnauba wax, paraffin, sugar-cane wax, and the synthetic acrawax C are safe lubricants, but metallic soaps of Ba, Cr, and Zr should not be so used. $ZnCl_2$ is a safe antistatic when used in proper amts. (2) "Adhesive" plastics. Among the "adhesive" plastics Me polysiloxane and polytetrafluoroethylene can be used safely on candy wrappers and bread pans, resp., but polytrifluorochloroethylene remains under investigation. (3) Antioxidants. At 0.01% concentration Pr gallate is an antioxidant for fats, but it is unstable toward heat. 2,6-Di-tert-butyl-4-methylphenol and 2,2-dimethyl-6-tert-butyl-5-hydroxycoumaran have passed preliminary toxicological investigations and are being studied further. (4) Synthetic sweetening agents. Perillartine (perilla anti-aldoxime) is an intensely sweet substance having an oral LD50 of 2.5 g./kg. in rats and does not produce symptoms in dogs at an oral dose of 5 g./kg. A diet containing 0.5% Perillartine produced some stunting of growth in rats after 4 weeks, possibly due to rendering the diet unpalatable. $o\text{-}EtOC_6H_4NH_2$ is claimed to be 1400 times as sweet as sucrose, but its safety is questioned on basis of the toxicity of its normal propyl homolog. 2-Carboxy-4'-methoxydiphenyl ketone is 150 times as sweet as sucrose, but no toxicity data are available. Allyl cyclohexylpropionate, which imparts a pineapple odor, has an oral LD50 of 600 mg./kg. in rats and can be fed at 10 times the concentration used in food without injuring rats. 1-Ethoxy-2-hydroxy-4-propenylbenzene, with 8-16 times the flavoring effect of vanillin, has an oral LD50 of 2.4 g./kg. in rats and does not injure rats when fed at 1% in their diets for 3 months.

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TI Studies on preformulation compatibility between lomefloxacin and tablet excipients through DSC and X-ray diffraction analysis
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CS Dept. of Pharmaceutics, Periyar College of Pharmaceutical Sciences, Trichy, 620 021, India
SO International Journal of Pharmaceutical Excipients (2003), (Apr.-June), 38-49
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PB ENAR Foundation Research Centre
DT Journal
LA English
AB Proper formulation is an important aspect of any dosage form design. As a part of preformulation studies, differential scanning calorimetry (DSC) was used to investigate the physicochem. compatibility between lomefloxacin and various excipients commonly used in tablet manufacturing, supported by x - ray powder diffraction (X-RPD) studies. Compatibility studies were carried on samples of 1:1 phys. mixts. of the drug with various excipients viz., lactose, dicalcium phosphate, polyvinylpyrrolidone K-30, Et cellulose, sodium starch glycollate, microcryst. cellulose, magnesium stearate, Aerosil and sodium CM-cellulose as diluent, disintegrant, binder, lubricant, glidant and coating agent resp. at room temperature Lomefloxacin was found to be compatible with lactose, DCP and magnesium stearate. DSC studies indicated incompatibility with PVP K-30 Et cellulose, SSG, MCC powder, Aerosil and sodium carboxymethy-cellulose. However, X-RPD Studies carried out with PVP K-30, which demonstrated incompatibility with lomefloxacin. Thus DSC being a thermal method of anal. should not be used singly to detect any inherent incompatibility. It has to be supported sufficiently by other non-thermal techniques such as XRPD and FTIR. Thus, DSC and X-RPD techniques might help in coming out with a specific set of guidelines (Parameters) as to make DSC and X-RPD to go a long way in serving pharmaceutical industry in the field of preformulation studies.
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ALL CITATIONS AVAILABLE IN THE RE FORMAT
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